(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 6 October 2005 (06.10.2005)

PCT

(10) International Publication Number WO 2005/092301 A1

(51) International Patent Classification⁷: 9/16, 38/28

A61K 9/72,

(21) International Application Number:

PCT/EP2004/050371

(22) International Filing Date: 26 March 2004 (26.03.2004)

(25) Filing Language:

English

(26) Publication Language:

English

- (71) Applicant (for all designated States except US): UNIVER-SITA' DEGLI STUDI DI PARMA [IT/IT]; Via Università, 12, I-43100 Parma (IT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): COLOMBO, Paolo [IT/IT]; Via Inzani, 1, I-43100 Parma (IT). CAGNANI, Stefano [IT/IT]; Via Conca, 1, I-29020 Settima di Gossolengo (IT). VENTURA, Paolo [IT/IT]; Via Fornari, 20, I-29100 Piacenza (IT).
- (74) Agent: GERVASI, Gemma; Notarbartolo & Gervasi S.p.A., Corso di Porta Vittoria, 9, I-20122 Milan (IT).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INSULIN HIGHLY RESPIRABLE MICROPARTICLES

(57) Abstract: The present invention describes novel dried powders of peptide therapeutic agent useful for producing highly respirable aerosols and the methods for their manufacture. Insulin is the peptide therapeutic agent in the preferred embodiment. The powders of insulin prepared for pulmonary administration are characterized by the peculiar structure and shape of the microparticles that allow the powder to flow and to be easy aerosolized. Typical dry powder of insulin described in this patent show corrugated, nonagglomerated microparticles with a low tapped density. The mean geometric diameter (particle size) ranges between 1.0 and 10.0 micron and the mass median aerodynamic diameter (MMAD) ranges between 1.0 and 4.0 micron. These insulin pulmonary powders exhibit in vitro a very high respirable fraction (>75%).

